Abstract

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Project Title: MLSCN Assay for Ligands of GRP30 and Classical Estrogen Receptors

Abstract: DESCRIPTION (provided by applicant): DESCRIPTION (provided by applicant): Estrogen (17?-estradiol, E2) is a critical hormone in the human body, regulating a multitude of functionally dissimilar processes in numerous tissues. Estrogen represents one of a family of steroid hormones, which also includes progesterone, testosterone, cortisol/glucocorticoids and aldosterone/mineralocorticoids that control many aspects of mammalian physiology. Steroid hormones are synthesized in numerous tissues throughout the body, including the ovaries, testes and adrenal glands. The effects of all hormones, including estrogen, are mediated by specific receptors that recognize and bind the hormone transmitting this information to downstream effectors. We have recently characterized a novel 7-transmembrane G protein-coupled estrogen-binding receptor GPR30 that appears to function along side classical estrogen receptors to effect cellular responses to estrogen. It is therefore essential to develop families of ligands that can distinguish between this receptor and the classical nuclear estrogen receptor. Such probes will be critical to determine the physiological roles of GPR30 as well as potentially develop receptor type-specific drugs. The goal of this application is to perform HTS of compounds that will displace a fluorescent estrogen derivative from binding to this newly discovered G protein-coupled estrogen receptor, GPR30, as well as to the classical estrogen receptors, ERa and ER?.

Thesaurus Terms:
MLSCN, Assay, GRP30, Estrogen Receptors, Estrogen (17?-estradiol, E2), steroid hormones, progesterone, testosterone, cortisol/glucocorticoids, aldosterone/mineralocorticoids, G protein-coupled estrogen receptor, Era, ER

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